

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
14 July 2005 (14.07.2005)

PCT

(10) International Publication Number  
**WO 2005/063756 A1**

(51) International Patent Classification?: **C07D 487/04,**  
A61K 31/519

(21) International Application Number:  
PCT/IB2004/004293

(22) International Filing Date:  
20 December 2004 (20.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/532,044 22 December 2003 (22.12.2003) US

(71) Applicants (for all designated States except US): **SB PHARMCO PUERTO RICO INC** [US/US]; CSC, The United States Corporation, 105 Ponce de Leon Avenue, One Comptroller Plaza, Hato Rey, Puerto Rico 00917 (US). **NEUROCRINE BIOSCIENCES INC** [US/US]; 12790 El Camino Real, San Diego, California 92130 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **LUO, Zhiyong** [CN/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US). **SLEE, Deborah** [US/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US). **TELLEW, John, Edward** [US/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US).

**WILLIAMS, John** [US/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US). **ZHANG, Xiahou** [US/US]; Neurocrine Biosciences Inc, 12790 El Camino Real, San Diego, California 92130 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

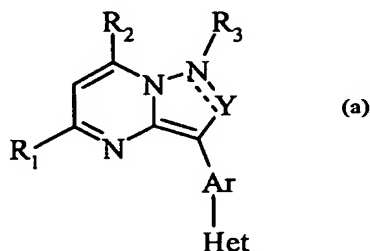
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CRF RECEPTOR ANTAGONISTS AND METHODS RELATING THERETO



(57) Abstract: CRF receptor antagonists are disclosed which may have utility in the treatment of a variety of disorders, including the treatment of disorders manifesting hypersecretion of CRF in mammals, such as stroke. The CRF receptor antagonists of this invention have the following structure (a) including pharmaceutically acceptable salts, esters, solvates, stereoisomers, and prodrugs thereof, wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, Y, Ar, and Het are as defined herein. Compositions containing a CRF receptor antagonist and a pharmaceutically acceptable carrier are also disclosed, as well as methods for use of the same.